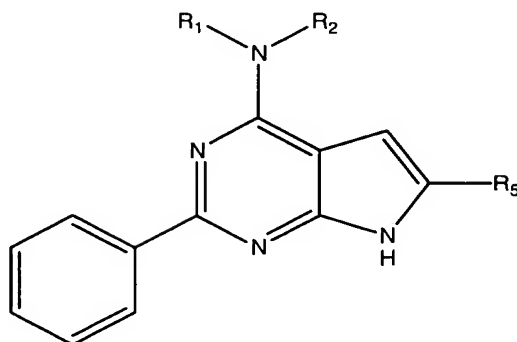


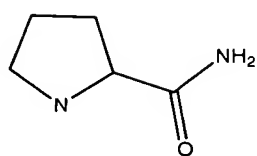
What is claimed is:

1. A compound having the structure:

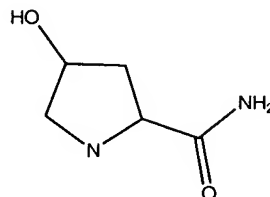


wherein

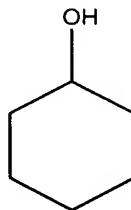
R_1NR_2 together form a ring having the structure:



or



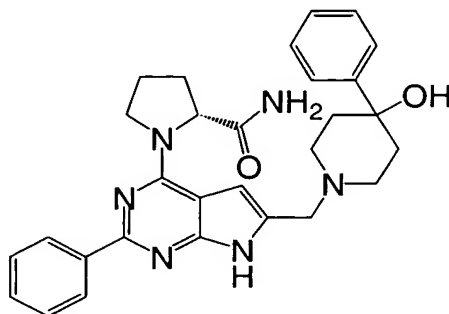
or R_1 is H and R_2 is:



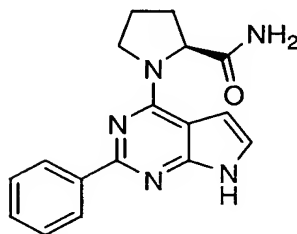
;

R_5 is H, or substituted or unsubstituted alkyl or alkylaryl.

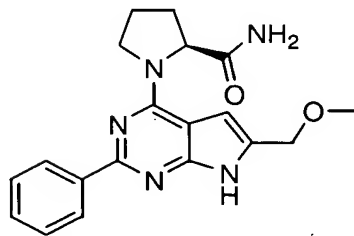
2. The compound of claim 1, having the structure:



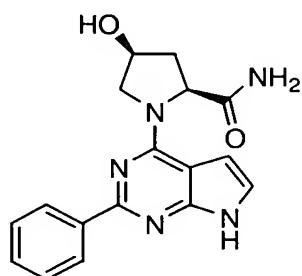
3. The compound of claim 1, having the structure:



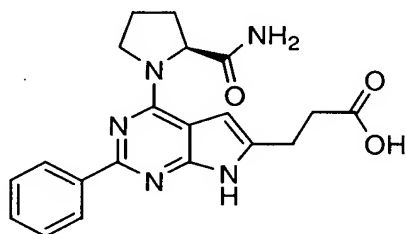
4. The compound of claim 1, having the structure:



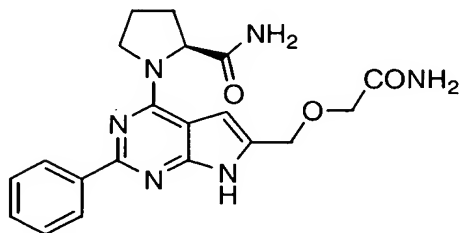
5. The compound of claim 1, having the structure:



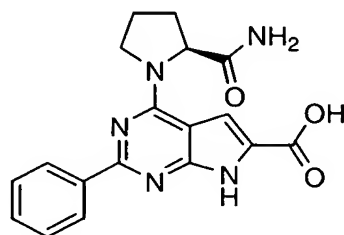
6. The compound of claim 1, having the structure:



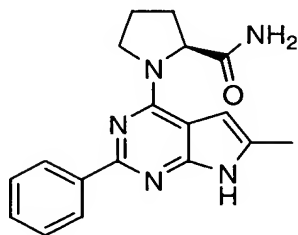
7. The compound of claim 1, having the structure:



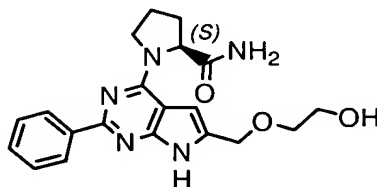
8. The compound of claim 1, having the structure:



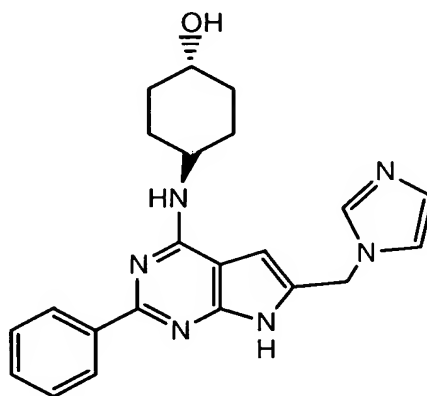
9. The compound of claim 1, having the structure:



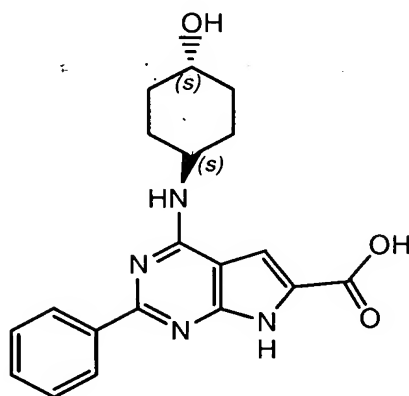
10. The compound of claim 1, having the structure:



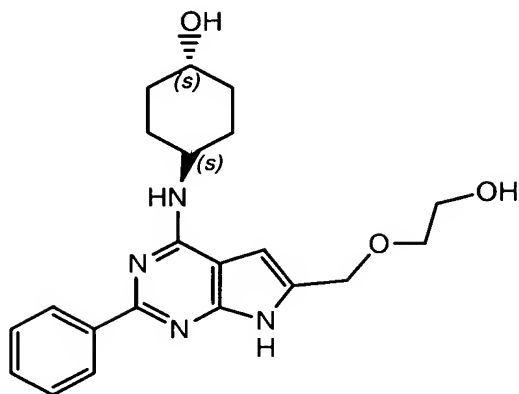
11. The compound of claim 1, having the structure:



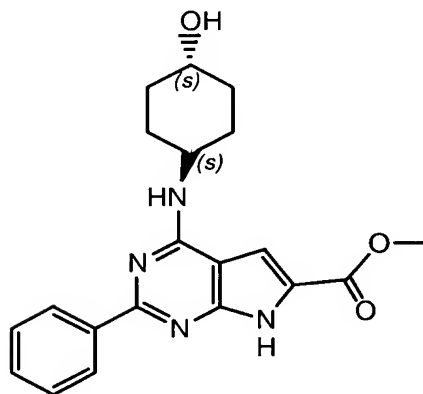
12. The compound of claim 1, having the structure:



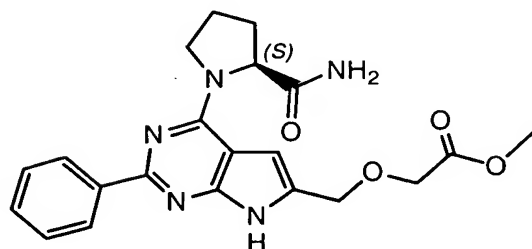
13. The compound of claim 1, having the structure:



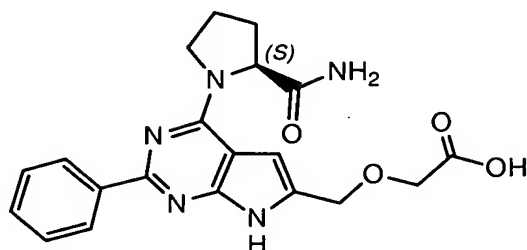
14. The compound of claim 1, having the structure:



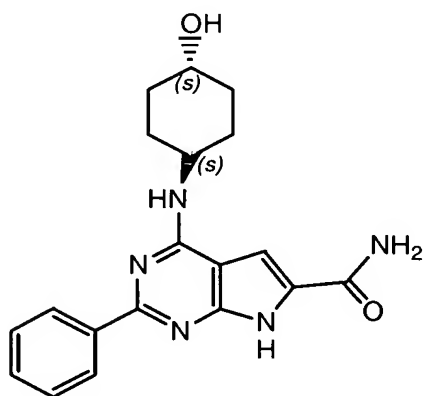
15. The compound of claim 1, having the structure:



16. The compound of claim 1, having the structure:



17. The compound of claim 1, having the structure:



18. A method for treating a disease associated with A₁ adenosine receptor in a subject, comprising administering to the subject a therapeutically effective amount of a compound of claim 1.
19. The method of claim 18, wherein the subject is a mammal.
20. The method of claim 19, wherein the mammal is a human.
21. The method of claim 18, wherein said A₁ adenosine receptor is associated with cognitive disease, renal failure, cardiac arrhythmias, respiratory epithelia, transmitter release, sedation, vasoconstriction, bradycardia,

negative cardiac inotropy and dromotropy, bronchoconstriction, neutrophil chemotaxis, reflux condition, or ulcerative condition.

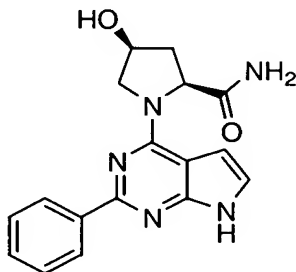
22. A water-soluble prodrug of the compound of claim 1, wherein the water-soluble prodrug is metabolized in vivo to produce an active drug which selectively inhibits A₁ adenosine receptor.
23. The prodrug of claim 22, wherein said prodrug is metabolized in vivo by esterase catalyzed hydrolysis.
24. A pharmaceutical composition comprising the prodrug of claim 22 and a pharmaceutically acceptable carrier.
25. A method for inhibiting the activity of an A₁ adenosine receptor in a cell, which comprises contacting the cell with a compound of claim 1.
26. The method of claim 25, wherein the compound is an antagonist of the A₁ adenosine receptor.
27. The method of claim 25, wherein the cell is human cell.
28. The method of claim 27 wherein the compound is an antagonist of A₁ adenosine receptors.
29. The method of claim 18, wherein said disease is asthma, chronic obstructive pulmonary disease, allergic rhinitis, or an upper respiratory disorder.
30. The method of claim 29, wherein the subject is a human.
31. The method of claim 30, wherein said compound is an antagonist of A₁ adenosine receptors.

32. A combination therapy for asthma, comprising the compound of claim 1, and a steroid, b2 agonist, glucocorticoid, lueotriene antagonist, or anticholinergic agonist.
33. A pharmaceutical composition comprising a therapeutically effective amount of the compound of claim 1 and a pharmaceutically acceptable carrier.
34. The method of claim 29, wherein said respiratory disorder is asthma, allergic rhinitis, or chronic obstructive pulmonary disease.
35. The pharmaceutical composition of claim 33, wherein said pharmaceutical composition is an periocular, retrobulbar or intraocular injection formulation.
36. The pharmaceutical composition of claim 33, wherein said pharmaceutical composition is a systemic formulation.
37. The pharmaceutical composition of claim 33, wherein said pharmaceutical composition is a surgical irrigating solution.
38. A packaged pharmaceutical composition for treating a disease associated with A1 adenosine receptor in a subject, comprising:
 - (a) a container holding a therapeutically effective amount of the compound of claim 1; and
 - (b) instructions for using said compound for treating said disease in a subject.
39. A pharmaceutically acceptable salt of the compound of

claim 1.

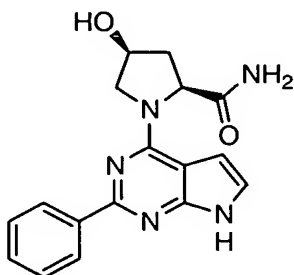
40. The pharmaceutically acceptable salt of claim 39, wherein the pharmaceutically acceptable salt of the compound of claims 6, 8, 12, 15, or 16 contains a cation selected from the group consisting of sodium, calcium and ammonium.
41. The method of claim 18, wherein the A₁ adenosine receptor is associated with congestive heart failure.

42. A method for treating a subject afflicted with a disease associated with an A₁ adenosine receptor in need of such treatment, comprising administering to the subject a therapeutically effective amount of a compound having the structure:



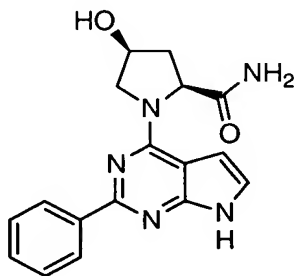
- or a pharmaceutically acceptable salt thereof so as to thereby treat the subject, wherein the disease is antidiuresis, bradycardia, bronchitis, bronchoconstriction, Alzheimer's disease, cardiac arrhythmias, cardiac hypoxia, congestive heart failure, hypertension, inflammation, negative cardiac inotropy and dromotropy, renal failure, sedation or is associated with transmitter release, respiratory epithelia, contraction of smooth muscle underlying respiratory epithelia, vasoconstriction or mast cell degranulation.
43. The method of claim 42, wherein the subject is a mammal.
44. The method of claim 43, wherein the mammal is a human.
45. The method of claim 42, wherein the disease is congestive heart failure.

46. A method for inhibiting the activity of an A1 adenosine receptor in a cell, which comprises contacting the cell with a compound having the structure:



or a pharmaceutically acceptable salt thereof.

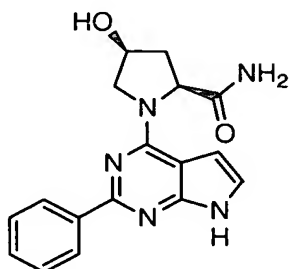
47. The method of claim 46, wherein the cell is a human cell.
48. A method for treating a subject having a respiratory disorder associated with the A1 adenosine receptor in need of such treatment, comprising administering to the subject a therapeutically effective amount of a compound having the structure:



or a pharmaceutically acceptable salt thereof, so as to thereby treat the subject.

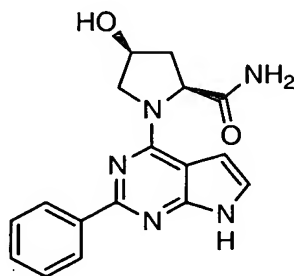
49. The method of claim 48, wherein the respiratory disorder is asthma, chronic obstructive pulmonary disease, allergic rhinitis, or an upper respiratory disorder.
50. The method of claim 48, wherein the subject is a human.

51. A prodrug of a compound having the structure:



- wherein the prodrug is metabolized *in vivo* by a human subject to an active drug which selectively inhibits the A1 adenosine receptor wherein the prodrug is
- an ester of an alcohol group;
 - an acetal or ketal of an alcohol group;
 - an N-Mannich base or an imine of an amine group; or
 - a Schiff base, oxime, acetal, enol ester, oxazolidine, or thiazolidine of a carbonyl group.
52. The prodrug of claim 51, wherein the prodrug is an ester of an alcohol group.
53. The prodrug of claim 51, wherein the prodrug is water-soluble.
54. A pharmaceutical composition comprising the prodrug of claim 51 and a pharmaceutically acceptable carrier.

55. A pharmaceutical composition comprising the compound having the structure:



- or a pharmaceutically acceptable salt thereof, and a pharmaceutically acceptable carrier.
56. The pharmaceutical composition of claim 55, further comprising at least one of either a steroid, β 2 agonist, glucocorticoid, leukotriene antagonist, or an anticholinergic agonist.
57. The pharmaceutical composition of claim 55, wherein the pharmaceutical composition is formulated for administration as a periocular, retrobulbar or intraocular injection.
58. The pharmaceutical composition of claim 55, wherein the pharmaceutical composition is formulated for systemic administration.
59. The pharmaceutical composition of claim 55, wherein the pharmaceutical composition is formulated for administration as a surgical irrigating solution.
60. A packaged pharmaceutical composition for treating a subject suffering from a disease associated with an A1 adenosine receptor in a subject, comprising the pharmaceutical composition of claim 55 and instructions for using the composition for treating the subject.